

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
23 December 2004 (23.12.2004)

PCT

(10) International Publication Number  
**WO 2004/110255 A2**

(51) International Patent Classification <sup>7</sup> :	A61B	(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
(21) International Application Number:	PCT/US2004/018143	
(22) International Filing Date:	9 June 2004 (09.06.2004)	
(25) Filing Language:	English	
(26) Publication Language:	English	
(30) Priority Data:		
60/476,648	9 June 2003 (09.06.2003)	US
60/537,282	16 January 2004 (16.01.2004)	US
60/540,700	30 January 2004 (30.01.2004)	US
60/548,240	27 February 2004 (27.02.2004)	US

(71) Applicants and

(72) Inventors: **ZHENG, Gang** [CN/US]; 17 McKean Circle, West Grove, PA 19390 (US). **GLICKSON, Jerry, D.** [US/—]; 626 Chatsworth Drive, Ambler, PA 19002 (US). **CHANCE, Britton** [US/—]; 4014 Pine Street, Philadelphia, PA 19104 (US).

(74) Agents: **COVERT, John, M.** et al.; Sterne, Kessler, Goldstein & Fox P.L.L.C., 1100 New York Avenue, N.W., Washington, DC 20005 (US).

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2004/110255 A2

(54) Title: ANTINEOPLASTIC AGENTS TARGETED VIA GLUT TRANSPORTERS

(57) Abstract: The present invention relates to novel antineoplastic agents and cancer diagnostic agents that specifically target neoplastic cells via the GLUT transportation system. More specifically, the invention relates to conjugates of 2-deoxyglucose, wherein a linker, which includes a covalent bond, is attached to 2-deoxyglucose at the 2 position, and the linker is attached to a therapeutic or diagnostic agent. The invention also relates to methods of treating tumor disease and methods of making the novel compounds of the present invention. The agents of the present invention are superior to previous agents as they are targeted via GLUT transporters.